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1,3-Disubstituted p-tert-butylcalix[4]arenes as cholinesterase inhibitors

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Abstract

The inhibitory effect of 1,3-substituted p-tert-butylcalix[4]arenes on butyrylcholinesterase from horse serum has been discovered and kinetically investigated with photometric microassay techniques. The interaction of calix[4]arene with the enzyme is described in accordance with the formal kinetics of competitive reversible inhibition. The inhibition constants calculated depend on the substituent in the lower rim of the calix[4]arene and vary in the range of (5-110) × 10-6 M. The proposed mechanism of inhibition involves the cooperative interaction of indophenyl acetate used as a substrate, calix[4]arene and the enzyme without any covalent or electrostatic binding of the functional groups in the active site of cholinesterase. This results in the coordination of the calixarene on the enzyme surface in the proximity of the enzyme active site. Such interaction prevents the substrate from entering the enzyme active site.

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Keywords

Calix[4]arene, Cholinesterase, Ester binding, Inhibition